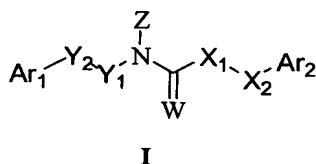


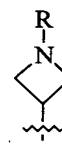
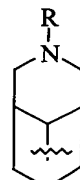
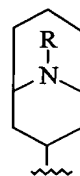
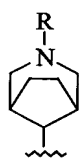
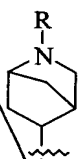
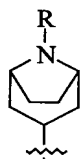
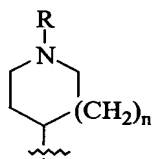
We Claim:

1. A compound of formula (I)



wherein

Z is



or

in which

R is a hydrogen, a cyclic or straight-chained or branched acyclic organyl group, a lower hydroxyalkyl group, a lower aminoalkyl group, or an aralkyl or heteroaralkyl group;

n is 0, 1, or 2;

X₁ is methylene, vinylene, or an NH or N(lower alkyl) group; and

X₂ is methylene, or, when X₁ is methylene or vinylene, X₂ is methylene or a bond; or when X₁ is methylene, X₂ is O, S, NH, or N(lower alkyl) or a bond;

Y₁ is methylene and Y₂ is methylene, vinylene, ethylene, propylene, or a bond;

or Y₁ is a bond and Y₂ is vinylene; or

Y₁ is ethylene and Y₂ is O, S, NH, or N(lower alkyl);

Ar₁ and Ar₂ independently are unsubstituted or substituted aryl or heteroaryl groups, provided that Ar₁ and Ar₂ are not simultaneously phenyl; and

W is oxygen or sulfur.

2. A compound according to claim 1, wherein

Y₁ is methylene and Y₂ is a bond, methylene, ethylene, or vinylene; or

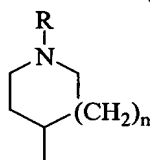
Y₁ is ethylene and Y₂ is O or S;

and

X₁ is methylene and X₂ is a bond, methylene, O, or S; or

X₁ is NH or N(lower alkyl) and X₂ is methylene.

- 5 3. A compound according to claim 2, wherein
Z is



and W is oxygen.

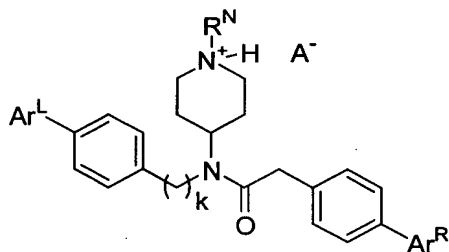
4. A compound according to claim 3, wherein
Ar₁ and Ar₂ independently are mono- or disubstituted phenyl groups.

5. A compound according to claim 4, wherein
R is a hydrogen, a lower alkyl group, a cyclic organyl group, or a substituted
or unsubstituted aralkyl or heteroaralkyl group;

n is 1;

- 15 Y₁ is methylene, Y₂ is a bond, methylene, ethylene, or vinylene;
X₁ is methylene and X₂ is a bond, or;
X₁ is NH or N(lower alkyl) and X₂ is methylene; and
Ar₁ and Ar₂ are phenyl groups, independently *p*-substituted with groups
selected from lower alkyl, lower alkoxy and halogen.

- 20 5. A compound according to claim 1, having a formula (II)



II

wherein R^N is hydrogen, lower alkyl, aralkyl, or heteroaralkyl;

Ar^L is selected from lower alkyl, lower alkoxy and halogen

- 25 Ar^R is selected from lower alkyl, lower alkoxy and halogen;

k is 1 or 2

and A⁻ is a suitable anion.

7. The compound according to claim 1, wherein the compound is selected from the group consisting of:

N-(1-(1-methylethyl)piperidin-4-yl)-N-((4-methylphenyl)methyl)-4-

5 methoxyphenylacetamide;

N-(1-(2,2-dimethylethyl)piperidin-4-yl)-N-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;

N-(1-pentylpiperidin-4-yl)-N-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;

10 N-(1-hexylpiperidin-4-yl)-N-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;

N-(1-cyclohexylpiperidin-4-yl)-N-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;

15 N-(1-cyclopentylpiperidin-4-yl)-N-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;

N-(1-cyclobutylpiperidin-4-yl)-N-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;

N-(1-cyclopropylpiperidin-4-yl)-N-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;

20 N-(1-(cyclopentylmethyl)piperidin-4-yl)-N-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;

N-(1-(cyclobutylmethyl)piperidin-4-yl)-N-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;

25 N-(1-(cyclopropylmethyl)piperidin-4-yl)-N-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;

N-(1-(2-hydroxyethyl)piperidin-4-yl)-N-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;

N-(1-(3-hydroxypropyl)piperidin-4-yl)-N-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;

30 N-((4-methylphenyl)methyl)-N-(piperidin-4-yl)-N^o-phenylmethylcarbamide;
N-((4-methylphenyl)methyl)-N-(1-(2-methylpropyl)piperidin-4-yl)-N^o-phenylmethylcarbamide;

N-(1-((2-bromophenyl)methyl)piperidin-4-yl)-N-((4-methylphenyl)methyl)-N^o-phenylmethylcarbamide;

N-(1-((4-hydroxy-3-methoxyphenyl)methyl)piperidin-4-yl)-*N*-((4-methylphenyl)methyl)-*N'*-phenylmethylcarbamide;

N-(1-((5-ethylthien-2-yl)methyl)piperidin-4-yl)-*N*-((4-methylphenyl)methyl)-*N'*-phenylmethylcarbamide;

5 *N*-(1-(imidazol-2-ylmethyl)piperidin-4-yl)-*N*-((4-methylphenyl)methyl)-*N'*-phenylmethylcarbamide;

N-(1-(cyclohexylmethyl)piperidin-4-yl)-*N*-((4-methylphenyl)methyl)-*N'*-phenylmethylcarbamide;

10 *N*-(1-((4-fluorophenyl)methyl)piperidin-4-yl)-*N*-((4-methylphenyl)methyl)-*N'*-phenylmethylcarbamide;

N-((4-methylphenyl)methyl)-*N*-(piperidin-4-yl)-4-methoxyphenylacetamide;

N-((4-methylphenyl)methyl)-*N*-(1-methylpiperidin-4-yl)-4-methoxyphenylacetamide;

15 *N*-(1-ethylpiperidin-4-yl)-*N*-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;

N-((4-methylphenyl)methyl)-*N*-(1-propylpiperidin-4-yl)-4-methoxyphenylacetamide;

N-(1-butylpiperidin-4-yl)-*N*-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;

20 *N*-(1-(3,3-dimethylbutyl)piperidin-4-yl)-*N*-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;

N-(1-(cyclohexylmethyl)piperidin-4-yl)-*N*-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;

25 *N*-((4-methylphenyl)methyl)-*N*-(1-(2-methylpropyl)piperidin-4-yl)-4-methoxyphenylacetamide;

N-((4-methylphenyl)methyl)-*N*-(1-((4-methylphenyl)methyl)piperidin-4-yl)-4-methoxyphenylacetamide;

N-(1-((4-hydroxyphenyl)methyl)piperidin-4-yl)-*N*-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;

30 *N*-(1-((2-hydroxyphenyl)methyl)piperidin-4-yl)-*N*-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;

N-(3-phenylpropyl)-*N*-(piperidin-4-yl)-4-methoxyphenylacetamide;

N-(2-phenylethyl)-*N*-(piperidin-4-yl)-4-methoxyphenylacetamide;

N-((2-methoxyphenyl)methyl)-*N*-(piperidin-4-yl)-4-methoxyphenylacetamide;

N-((2-chlorophenyl)methyl)-N-(piperidin-4-yl)-4-methoxyphenylacetamide;
N-((3,4-di-methoxyphenyl)methyl)-N-(piperidin-4-yl)-4-
methoxyphenylacetamide;

N-((4-fluorophenyl)methyl)-N-(piperidin-4-yl)-4-methoxyphenylacetamide;
5 N-((2,4-di-chlorophenyl)methyl)-N-(piperidin-4-yl)-4-
methoxyphenylacetamide;

N-((3-methylphenyl)methyl)-N-(piperidin-4-yl)-4-methoxyphenylacetamide;
N-((3-bromophenyl)methyl)-N-(piperidin-4-yl)-4-methoxyphenylacetamide;
N-(1-(phenylmethyl)piperidin-4-yl)-N-(3-phenyl-2-propen-1-yl)-4-

10 methoxyphenylacetamide;
N-((4-methylphenyl)methyl)-N-(1-piperidin-4-yl)-phenylacetamide;
N-((4-methylphenyl)methyl)-N-(1-piperidin-4-yl)-3-phenylpropionamide;
N-((4-methylphenyl)methyl)-N-(1-piperidin-4-yl)-(phenylthio)acetamide;
N-((4-methylphenyl)methyl)-N-(1-piperidin-4-yl)-phenoxyacetamide;
15 N-((4-methylphenyl)methyl)-N-(1-piperidin-4-yl)-(4-
chlorophenoxy)acetamide;

N-((4-methylphenyl)methyl)-N-(1-piperidin-4-yl)-3-
methoxyphenylacetamide;
N-((4-methylphenyl)methyl)-N-(1-piperidin-4-yl)-4-fluorophenylacetamide;
20 N-((4-methylphenyl)methyl)-N-(1-piperidin-4-yl)-2,5-di-
methoxyphenylacetamide;

N-((4-methylphenyl)methyl)-N-(1-piperidin-4-yl)-4-chlorophenylacetamide;
N-((4-methylphenyl)methyl)-N-(1-(phenylmethyl)pyrrolidin-3-yl)-N'-
phenylmethylcarbamide;

25 N-((4-methylphenyl)methyl)-N-(1-(phenylmethyl)pyrrolidin-3-yl)-4-
methoxyphenylacetamide;

2-(4-methoxyphenyl)-N-(4-methylbenzyl)-N-(piperidin-4-yl) acetamide;
2-(4-methoxyphenyl)-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl)
acetamide;

30 2-(4-methoxyphenyl)-N-(4-methylbenzyl)-N-(1-ethylpiperidin-4-yl)
acetamide;

2-(4-methoxyphenyl)-N-(4-chlorbenzyl)-N-(1-ethylpiperidin-4-yl) acetamide.

2-(4-methoxyphenyl)-N-(4-chlorbenzyl)-N-(1-isopropylpiperidin-4-yl)
acetamide;

2-(4-methoxyphenyl)-*N*-(4-chlorobenzyl)-*N*-(piperidin-4-yl) acetamide;
2-(4-methoxyphenyl)-*N*-(4-chlorobenzyl)-*N*-(1-cyclopentylpiperidin-4-yl)
acetamide;

2-(4-methoxyphenyl)-*N*-(4-chlorobenzyl)-*N*-(1-isopropylpiperidin-4-yl)
5 acetamide;

2-(phenyl)-*N*-(4-trifluoromethylbenzyl)-*N*-(1-methylpiperidin-4-yl) acetamide;
2-(4-fluorophenyl)-*N*-(4-trifluoromethylbenzyl)-*N*-(1-methylpiperidin-4-yl)

acetamide;

2-(4-Methoxyphenyl)-*N*-(4-trifluoromethylbenzyl)-*N*-(1-methylpiperidin-4-yl)
10 acetamide;

2-(4-Trifluoromethylphenyl)-*N*-(4-trifluoromethylbenzyl)-*N*-(1-
methylpiperidin-4-yl) acetamide;

2-(4-Fluorophenyl)-*N*-(4-fluorobenzyl)-*N*-(1-methylpiperidin-4-yl) acetamide;

2-(4-Methoxyphenyl)-*N*-(4-fluorobenzyl)-*N*-(1-methylpiperidin-4-yl)
15 acetamide;

2-(phenyl)-*N*-(4-fluorobenzyl)-*N*-(1-methylpiperidin-4-yl) acetamide;

2-(4-Trifluoromethylphenyl)-*N*-(4-fluorobenzyl)-*N*-(1-methylpiperidin-4-yl)
acetamide;

2-(4-trifluoromethylphenyl)-*N*-[4-(methoxycarbonyl)benzyl]-*N*-(1-
20 methylpiperidin-4-yl) acetamide;

2-Phenyl-*N*-[4-(methoxycarbonyl)benzyl]-*N*-(1-methylpiperidin-4-yl)
acetamide;

2-(4-Chlorophenyl)-*N*-[4-(methoxycarbonyl)benzyl]-*N*-(1-methylpiperidin-4-
yl) acetamide;

2-(4-Methoxyphenyl)-*N*-[4-(methoxycarbonyl)benzyl]-*N*-(1-methylpiperidin-
25 4-yl) acetamide;

2-(4-trifluoromethylphenyl)-*N*-[4-(methoxycarbonyl)benzyl]-*N*-(1-
methylpiperidin-4-yl) acetamide;

2-Phenyl-*N*-[4-(methoxycarbonyl)benzyl]-*N*-(1-methylpiperidin-4-yl)
30 acetamide;

2-(4-Chlorophenyl)-*N*-[4-(methoxycarbonyl)benzyl]-*N*-(1-methylpiperidin-4-
yl) acetamide;

2-(4-Methoxyphenyl)-*N*-[4-(methoxycarbonyl)benzyl]-*N*-(1-methylpiperidin-
4-yl) acetamide;

2-(4-methoxyphenyl)-*N*-(4-methylbenzyl)-*N*-[1-(4-chloromethyl-2-thiazolylmethyl) piperidin-4-yl] acetamide;

2-(4-methoxyphenyl)-*N*-(4-methylbenzyl)-*N*-{1-[3(1,3-dihydro-2H-benzimidazol-2-on-1-yl) propyl] piperidin-4-yl} acetamide;

5 2-(4-methoxyphenyl)-*N*-(2-(4-fluorophenyl) ethyl)-*N*-(1-methylpiperidin-4-yl) acetamide;

2-(4-methoxyphenyl)-*N*-[2-(2,5-dimethoxyphenyl) ethyl]-*N*-(1-methylpiperidin-4-yl) acetamide;

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A3
10 2-(4-methoxyphenyl)-*N*-[2-(2,4-dichlorophenyl) ethyl]-*N*-(1-methylpiperidin-4-yl) acetamide;

2-(4-methoxyphenyl)-*N*-[2-(3-chlorophenyl) ethyl]-*N*-(1-methylpiperidin-4-yl) acetamide;

2-(4-methoxyphenyl)-*N*-[2-(4-methoxyphenyl) ethyl]-*N*-(1-methylpiperidin-4-yl) acetamide;

15 2-(4-methoxyphenyl)-*N*-[2-(3-fluorophenyl) ethyl]-*N*-(1-methylpiperidin-4-yl) acetamide;

2-(4-ethoxyphenyl)-*N*-[2-(4-fluorophenethyl)]-*N*-(1-methylpiperidin-4-yl) acetamide;

2-(4-ethoxyphenyl)-*N*-(4-fluorobenzyl)-*N*-(1-methylpiperidin-4-yl) acetamide;

20 2-(4-methoxyphenyl)-*N*-(4-methylbenzyl)-*N*-{1-[2-(2-hydroxyethoxy)ethyl] piperidin-4-yl} acetamide;

2-(4-methoxyphenyl)-*N*-(4-methylbenzyl)-*N*-[1-((2-chloro-5-thienyl)methyl) piperidin-4-yl] acetamide;

25 2-(4-methoxyphenyl)-*N*-(4-methylbenzyl)-*N*-[1-(2-(imidazolidinon-1-yl)ethyl)piperidin-4-yl] acetamide;

2-(4-methoxyphenyl)-*N*-(4-methylbenzyl)-*N*-{1-[2-(2,4(1H,3H)quinazolin-3-yl)ethyl] piperidin-4-yl} acetamide;

2-(4-methoxyphenyl)-*N*-(4-methylbenzyl)-*N*-{1-[2-(1,3-dioxolan-2-yl)ethyl]piperidin-4-yl} acetamide;

30 2-(4-methoxyphenyl)-*N*-(4-methylbenzyl)-*N*-{1-[2-(3-indolyl)ethyl] piperidin-4-yl} acetamide;

2-(4-methoxyphenyl)-*N*-(4-methylbenzyl)-*N*-{1-[3-(1,2,4-triazol-1-yl)propyl]piperidin-4-yl} acetamide;

544/

2-(4-methoxyphenyl)-N-(4-methylbenzyl)-N-[1-(5-benzofurazanylmethyl)piperidin-4-yl] acetamide;

2-(4-methoxyphenyl)-N-(4-methylbenzyl)-N-[1-(5-chlorobenzo[b]thien-3-ylmethyl) piperidin-4-yl] acetamide;

5 2-(4-methoxyphenyl)-N-(4-methylbenzyl)-N-[1-(5-phenyl-1,2,4-oxadiazol-3-ylmethyl)piperidin-4-yl] acetamide;

2-(4-Chlorophenyl)-N-(4-methylbenzyl)-N-(1-isopropylpiperidin-4-yl)-acetamide;

2-(4-Chlorophenyl)-N-(4-methylbenzyl)-N-(1-ethylpiperidin-4-yl)-acetamide;

10 2-Phenyl-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl)-acetamide, 2-(4-Chlorophenyl)-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl)-acetamide;

2-(4-Chlorophenyl)-N-(4-methylbenzyl)-N-(1-cyclopentylpiperidin-4-yl)-acetamide;

15 2-(4-Fluorophenyl)-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl)-acetamide;

2-(4-Chlorophenyl)-N-(4-methylbenzyl)-N-(1-(2-hydroxyethyl)-piperidin-4-yl)-acetamide;

2-(4-Chlorophenyl)-N-(4-methylbenzyl)-N-(1-cyclobutylpiperidin-4-yl)-acetamide;

20 2-(4-Methoxyphenyl)-N-(4-methylbenzyl)-N-(1-cyclobutylpiperidin-4-yl)-acetamide, 2-(4-Methoxyphenyl)-N-(4-methylbenzyl)-N-(tropin-4-yl)-acetamide;

N-(4-Methylbenzyl)-N-(1-methylpiperidin-4-yl)-N'-benzyl-carbamide;

N-(4-Methylbenzyl)-N-(1-methylpiperidin-4-yl)-N'-phenyl-carbamide;

N-Phenethyl-N-(1-methylpiperidin-4-yl)-N'-benzyl-carbamide;

25 2-Phenyl-N-(4-methoxybenzyl)-N-(1-methylpiperidin-4-yl)-acetamide;

2-(4-Trifluoromethylphenyl)-N-(4-methoxybenzyl)-N-(1-methylpiperidin-4-yl)-acetamide;

2-(4-Fluorophenyl)-N-(4-methoxybenzyl)-N-(1-methylpiperidin-4-yl)-acetamide;

30 2-(4-Methoxyphenyl)-N-(4-methoxybenzyl)-N-(1-methylpiperidin-4-yl)-acetamide;

2-(4-Methylphenyl)-N-(4-chlorobenzyl)-N-(1-methylpiperidin-4-yl)-acetamide;

2-(4-Hydroxyphenyl)-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl)-
 acetamide;
 N-Phenethyl-N-(1-methylpiperidin-4-yl)-N'-phenyl-carbamide;
 N-(3-Phenylpropyl)-N-(1-methylpiperidin-4-yl)-N'-benzyl-carbamide;
 5 N-(3-Phenylpropyl)-N-(1-methylpiperidin-4-yl)-N'-phenyl-carbamide;
 2-(4-Methoxyphenyl)-2,2-ethylene-N-(4-methylbenzyl)-N-(1-methylpiperidin-
 4-yl) acetamide;
 2-(4-Methoxyphenyl)-N-alpha-methylbenzyl-N-(1-methylpiperidin-4-yl)
 acetamide;
 10 2-(4-Methoxyphenyl)-N-(4-methylbenzyl)-N-(3-tropen-4-yl) acetamide;
 2-Phenyl-2-ethyl-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl) acetamide;
 N-Phenethyl-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl)-amine;
 2-(4-Methoxyphenyl)-N-(1-indanyl)-N-(1-methylpiperidin-4-yl) acetamide;
 N-(4-Methylbenzyl)-N-(1-methylpiperidin-4-yl)-N'-(4-methoxybenzyl)-
 15 carbamide;
 2-(3,4-dimethoxyphenyl)-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl)
 acetamide;
 2-(3,4-Methylenedioxyphenyl)-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-
 yl) acetamide;
 20 2-(4-Methoxyphenyl)-N-(4-methylbenzyl)-N-(1-t-butylpiperidin-4-yl)-
 acetamide;
 N-(4-Methylbenzyl)-N-(1-methylpiperidin-4-yl)-N'-phenethyl-carbamide;
 N-Phenethyl-N-(1-methylpiperidin-4-yl)-N'-phenethyl-carbamide;
 N-(4-Methylbenzyl)-N-(1-t-butylpiperidin-4-yl)-N'-(4-methoxybenzyl)-
 25 carbamide;
 2-(4-Ethoxyphenyl)-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl)
 acetamide;
 2-(4-Butoxyphenyl)-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl)
 acetamide;
 30 2-(4-i-Propoxyphenyl)-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl)
 acetamide;
 2-(4-t-Butoxyphenyl)-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl)
 acetamide;

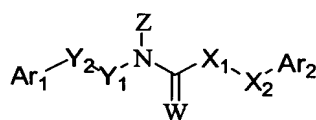
2-(4-Butoxyphenyl)-N-(4-fluorobenzyl)-N-(1-methylpiperidin-4-yl)
acetamide;

2-(4-Propoxyphenyl)-N-(4-fluorobenzyl)-N-(1-methylpiperidin-4-yl)
acetamide;

5 2-(4-*i*-Propoxyphenyl)-N-(4-fluorobenzyl)-N-(1-methylpiperidin-4-yl)
acetamide; and

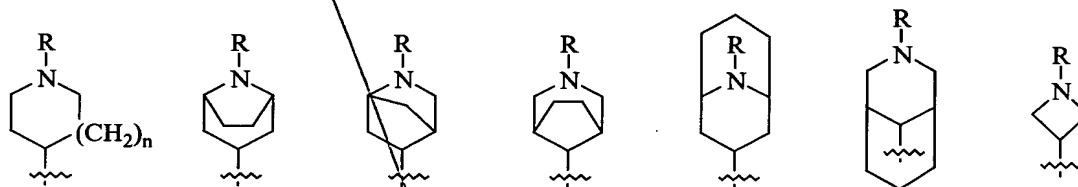
2-(4-*t*-Butoxyphenyl)-N-(4-fluorobenzyl)-N-(1-methylpiperidin-4-yl)
acetamide.

8. A compound of formula (I)



I

10 wherein
Z is



or

15 in which

R is a hydrogen, a cyclic or straight-chained or branched acyclic organyl
group, a lower hydroxyalkyl group, a lower aminoalkyl group, or an aralkyl or
heteroaralkyl group; and

n is 0, 1, or 2;

20 X_1 is methylene, vinylene, or an NH or N(lower alkyl) group; and

X_2 is methylene, or, when X_1 is methylene or vinylene, X_2 is methylene or a
bond; or when X_1 is methylene, X_2 is O, S, NH, or N(lower alkyl) or a bond;

Y_1 is methylene and Y_2 is methylene, vinylene, ethylene, propylene, or a bond;

or

25 Y_1 is a bond and Y_2 is vinylene; or

Y_1 is ethylene and Y_2 is O, S, NH, or N(lower alkyl);

Sub
A3

and

Ar₁ and Ar₂ are different unsubstituted or substituted aryl or heteroaryl groups;

W is oxygen or sulfur.

8 9.

5

A compound according to claim 8, wherein

Y₁ is methylene and Y₂ is a bond, methylene, ethylene, or vinylene; or

Y₁ is ethylene and Y₂ is O or S; and

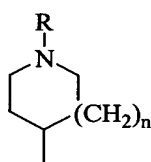
X₁ is methylene and X₂ is a bond, methylene, O, or S; or

X₁ is NH or N(lower alkyl) and X₂ is a methylene.

10. A compound according to claim 9, wherein

10

Z is



and W is oxygen.

11. A compound according to claim 10, wherein

15

Ar₁ and Ar₂ independently are mono- or disubstituted phenyl groups.

12. A compound according to claim 11, wherein

R is a hydrogen, a lower alkyl group, a cyclic organyl group, or an, optionally substituted, alalkyl or heteroaralkyl group;

n is 1;

20

Y₁ is methylene, Y₂ is a bond, methylene, ethylene, or vinylene;

X₁ is methylene and X₂ is a bond, or

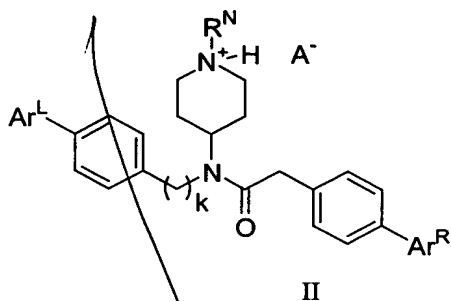
X₁ is NH or N(lower alkyl) and X₂ is methylene; and

Ar₁ and Ar₂ are phenyl groups, independently p-substituted with groups selected from alkyl, lower alkoxy and halogen.

25

13. A compound according to claim 7, having a formula (II):

Sub
A4



wherein R^N is hydrogen, lower alkyl, aralkyl, or heteroaralkyl;

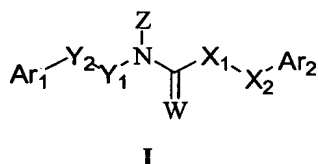
Ar^L is selected from lower alkyl, lower alkoxy and halogen

Ar^R is selected from lower alkyl, lower alkoxy and halogen;

k is 1 or 2

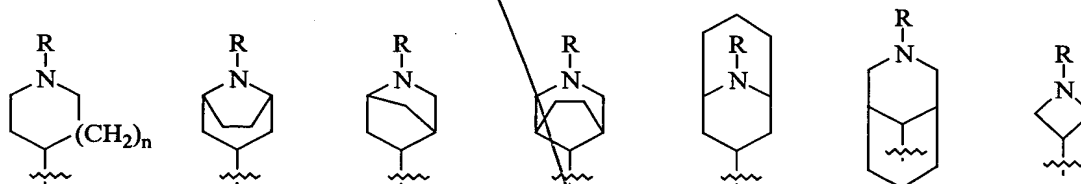
and A^- is a suitable anion.

14. A pharmaceutical composition comprising an effective amount of a compound of formula (I):



wherein

Z is



in which

R is a hydrogen, a cyclic or straight-chained or branched acyclic organyl group, a lower hydroxyalkyl group, a lower aminoalkyl group, or an aralkyl or heteroaralkyl group; and

n is 0, 1, or 2;

X_1 is methylene, vinylene, or an NH or N(lower alkyl) group; and

X_2 is methylene, or, when X_1 is methylene or vinylene, X_2 is methylene or a bond; or when X_1 is methylene, X_2 is O, S, NH, or N(lower alkyl) or a bond;

Y₁ is methylene and Y₂ is methylene, vinylene, ethylene, propylene, or a bond;

or

Y₁ is a bond and Y₂ is vinylene; or

Y₁ is ethylene and Y₂ is O, S, NH, or N(lower alkyl);

Ar₁ and Ar₂ independently are unsubstituted or substituted aryl or heteroaryl groups, provided that Ar₁ and Ar₂ are not simultaneously phenyl; and

W is oxygen or sulfur;

or a pharmaceutically acceptable salt, ester or prodrug thereof, and

a pharmaceutically acceptable diluent or excipient.

- 5
- 10 15. A method of inhibiting an activity of a monoamine receptor comprising contacting the monoamine receptor or a system containing the monoamine receptor with an amount of one or more of the compounds of claim 1 that is effective in inhibiting the activity of the monoamine receptor.
- 14 16. The method of claim 15 wherein the monoamine receptor is a serotonin receptor.
- 15 17. The method of claim 16 wherein the serotonin receptor is the 5-HT_{2A} subclass.
- 16 18. The method of claim 16 wherein the serotonin receptor is in the central nervous system.
- 20 19. The method of claim 16 wherein the serotonin receptor is in the peripheral nervous system.
- 18 20. The method of claim 16 wherein the serotonin receptor is in blood cells or platelets.
- 19 21. The method of claim 16 wherein the serotonin receptor is mutated or modified.
- 25 22. The method of claim 15 wherein the activity is signaling activity.
- 21 23. The method of claim 15 wherein the activity is constitutive.
- 22 24. The method of claim 15 wherein the activity is associated with serotonin receptor activation.
- 28 25. A method of inhibiting an activation of a monoamine receptor comprising contacting the monoamine receptor or a system containing the monoamine receptor with an amount of a compound of one or more of the compounds of claim 1 that is effective in inhibiting the activation of the monoamine receptor.
- 24 26. The method of claim 25 wherein the activation is by an agonistic agent.

24. ²⁵ The method of claim ²⁴ ~~25~~ wherein the agonistic agent is exogenous.
28. ²⁶ The method of claim ²⁴ ~~26~~ wherein the agonistic agent is endogenous.
29. ²⁷ The method of claim ²³ ~~25~~ wherein the activation is constitutive.
30. ²⁸ The method of claim ²³ ~~25~~ wherein the monoamine receptor is a serotonin
5 ²⁹ receptor.
31. The method of claim ²⁸ ~~30~~ wherein the serotonin receptor is the 5-HT2A
³⁰ subclass.
32. The method of claim ²⁸ ~~30~~ wherein the serotonin receptor is in the central
³¹ nervous system.
- 10 33. The method of claim ²⁸ ~~30~~ wherein the serotonin receptor is in the peripheral
nervous system.
34. The method of claim ²⁸ ~~30~~ wherein the serotonin receptor is in blood cells or
³² platelets.
35. The method of claim ²⁸ ~~30~~ wherein the serotonin receptor is mutated or
15 ³⁴ modified.
36. A method of treating a disease condition associated with a monoamine
receptor comprising administering to a subject in need of such treatment a
³⁵ therapeutically effective amount of one or more of the compounds of claim 1.
37. The method of claim ³⁴ ~~36~~ wherein the disease condition is selected from the
20 group consisting of schizophrenia, psychosis, migraine, hypertension,
thrombosis, vasospasm, ischemia, depression, anxiety, sleep disorders and
³⁶ appetite disorders.
38. The method of claim ³⁴ ~~36~~ wherein the disease condition is associated with
dysfunction of a monoamine receptor.
- 25 39. The method of claim ³⁴ ~~36~~ wherein the disease condition is associated with
activation of a monoamine receptor.
40. The method of claim ³⁴ ~~36~~ wherein the disease condition is associated with
increased activity of monoamine receptor.
41. The method of claim ³⁴ ~~36~~ wherein the monoamine receptor is a serotonin
30 ⁴⁰ receptor.
42. The method of claim ³⁹ ~~41~~ wherein the serotonin receptor is the 5-HT2A
subclass.
43. The method of claim ³⁹ ~~41~~ wherein the serotonin receptor is in the central
nervous system.

- 42
44. The method of claim 41 wherein the serotonin receptor is in the peripheral nervous system.
- 43
45. The method of claim 41 wherein the serotonin receptor is in blood cells or platelets.
- 44
5 46. The method of claim 41 wherein the serotonin receptor is mutated or modified.
- 45
47. A method of treating schizophrenia comprising administering to a subject in need of such treatment a therapeutically effective amount of a compound of one or more of the compounds of claim 1.
- 46
10 48. A method of treating migraine comprising administering to a subject in need of such treatment a therapeutically effective amount of a compound of one or more of the compounds of claim 1.
- 47
49. A method of treating psychosis comprising administering to a subject in need of such treatment a therapeutically effective amount of a compound of one or more of the compounds of claim 1.
- 15
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50. A method for identifying a genetic polymorphism predisposing a subject to being responsive to one or more of the compounds of claim 1, comprising: administering to a subject a therapeutically effective amount of the compound; measuring the response of said subject to said compound, thereby identifying a responsive subject having an ameliorated disease condition associated with a monoamine receptor; and
- 20
- identifying a genetic polymorphism in the responsive subject, wherein the genetic polymorphism predisposes a subject to being responsive to the compound.
51. The method of claim 50 wherein the ameliorated disease condition is associated with the 5-HT class or 5-HT2A subclass of monoaminergic receptors.
- 25
52. A method for identifying a subject suitable for treatment with one or more of the compounds of claim 1, comprising detecting the presence of a polymorphism in a subject wherein the polymorphism predisposes the subject to being responsive to the compound, and wherein the presence of the polymorphism indicates that the subject is suitable for treatment with one or more of the compounds of claim 1.
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